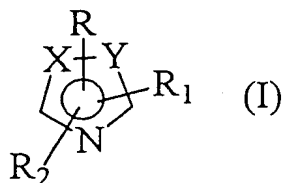


CLAIMS

~~1. Nitrogen heterocyclic aromatic derivatives having the following general formula:~~



where:

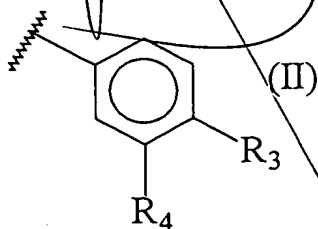
5 -when $X=Y$, $X, Y=N$;

-when $X \neq Y$, $X, Y=N, C, CH$;

-R is chosen between hydrogen, $-COR_8$ where R_8 is a saturated or non-saturated aliphatic hydrocarbon C_1-C_{10} , or R represents any other group able to form a bond with a nitrogen atom;

10

- R_1 has the following general formula:

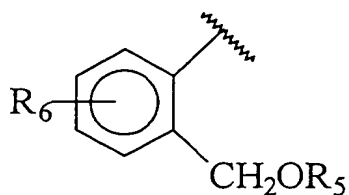


where R_3 is chosen among hydrogen, halogen, alkyl or alkoxy C_1-C_{10} , R_4 is chosen among hydrogen, alkyl or alkoxy C_1-C_{10} , or R_3 and R_4 together form a

15 methylenedioxy group;

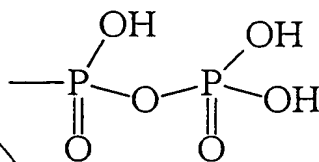
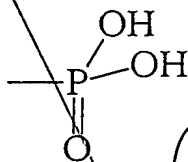
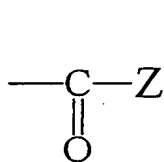
AMENDED SHEET

- R₂ has the following general structure:

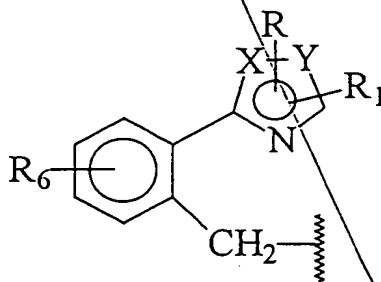


(III)

where R₅ is chosen among:



5 where Z=OR₇ with R₇ is chosen among a saturated or non-saturated, linear or branched C₁-C₂₀ aliphatic hydrocarbon, or is chosen according to the following formula:



(XII)

AMENDED SHEET

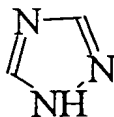
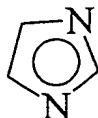
where R, R₁, X and Y are defined as above and R₆ is chosen among hydrogen, halogen, alkyl or alkoxy C₁-C₁₀,

or Z is chosen equal to NHR₈ where R₈ is a linear or branched C₁-C₂₀ alkyl chain, provided that:

5 when X=Y=N and R is chosen equal to H or to -CONHCH₂CH₃, Z is different from NHR₈ where R₈ is equal to -CH₂CH₃. Mentioned R₁ and R₂ are never located on two adjacent atoms of the heterocyclic aromatic ring.

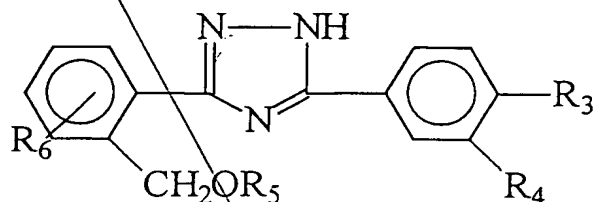
10 2. Nitrogen heterocyclic aromatic derivatives according to the claim 1. characterised by a saturated or non-saturated C₁-C₂₀ aliphatic hydrocarbon represented by a linear or branched alkyl, alkenyl or alkynyl which can contain one or more double or triple bonds. Always according to the present invention, the term alkyl or
15 alkoxy means a linear or branched C₁-C₁₀ alkyl or alkoxy group.

3. Nitrogen heterocyclic aromatic derivatives according to the claim 1. characterised by the fact that are derivatives of imidazole and 1H-1, 2, 4-triazole
20 respectively:



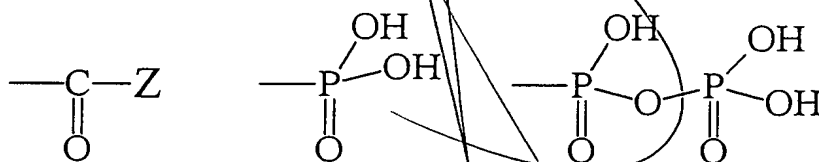
AMENDED SHEET

4. Nitrogen heterocyclic aromatic derivatives according to the claim 1, characterised by having $X=Y=N$, $R=H$ and showing the following general formula:

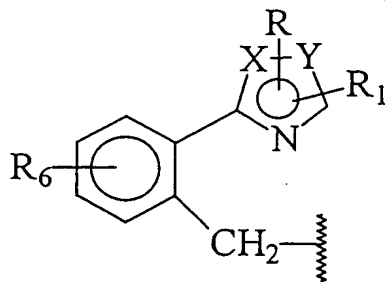


(IV)

5 where R_3 is chosen among hydrogen, halogen, alkyl or alkoxy C_1-C_{10} , R_4 is chosen among hydrogen, alkyl or alkoxy C_1-C_{10} , or R_3 and R_4 together form a methylenedioxy group, where R_5 is chosen among:



10 where $Z=OR_7$ with R_7 is chosen among a saturated or non-saturated, linear or branched C_1-C_{20} aliphatic hydrocarbon, or is chosen according to the following formula:

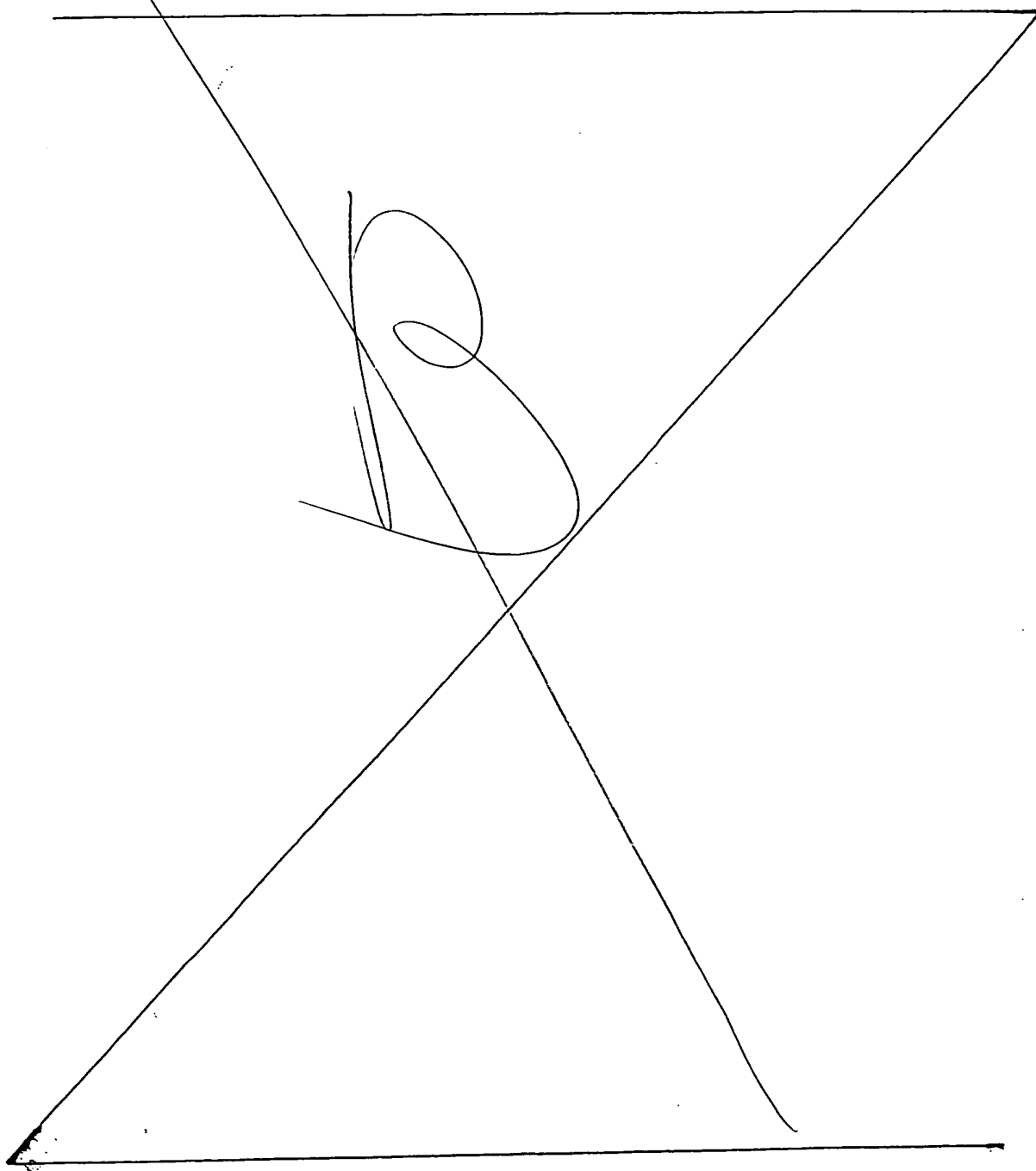


(XII)

AMENDED SHEET

45a

where R, R₁, X and Y are defined as above and R₆ is
chosen among hydrogen, halogen, alkyl or alkoxy C₁-C₁₀,



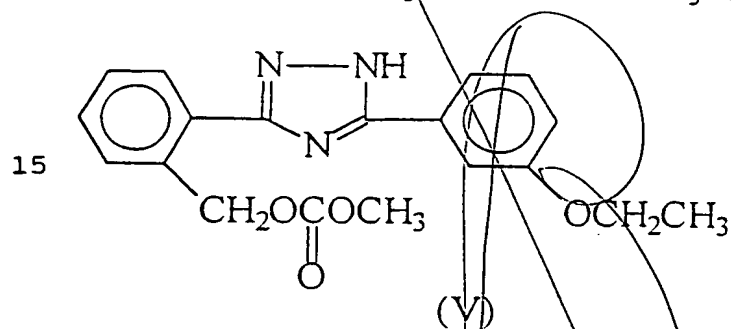
AMENDED SHEET

or 2 is chosen equal to NHR_8 where R_8 is a linear or branched $\text{C}_1\text{-C}_{20}$ alkyl chain.

- 5 5. Nitrogen heterocyclic aromatic derivatives according to claim 4. characterised by having $\text{R}_6 = \text{hydrogen}$, $\text{R}_4 = \text{OCH}_3$ or OCH_2CH_3 . Mentioned R_3 is hydrogen, mentioned R_5 is chosen equal to COZ where $\text{Z}=\text{OR}_7$ with R_7 as a saturated linear aliphatic $\text{C}_1\text{-C}_{12}$ hydrocarbon.

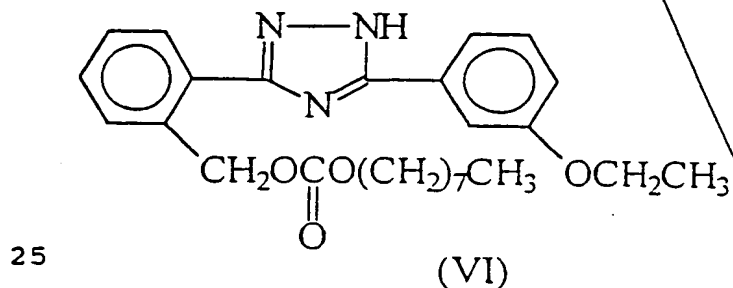
10

6. Nitrogen heterocyclic aromatic derivative according to claim 1. having the following chemical structure:

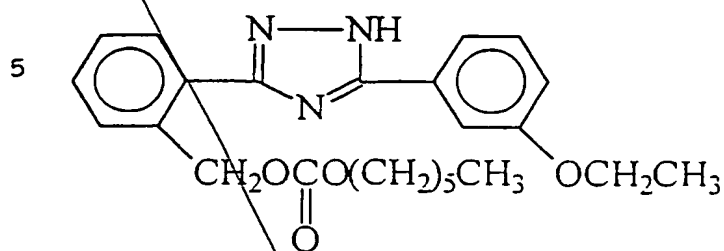


7. Nitrogen heterocyclic aromatic derivative according to claim 1. having the following chemical structure:

20

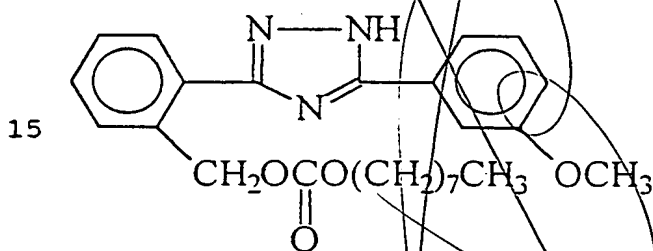


8. Nitrogen heterocyclic aromatic derivative according to claim 1. having the following chemical structure:



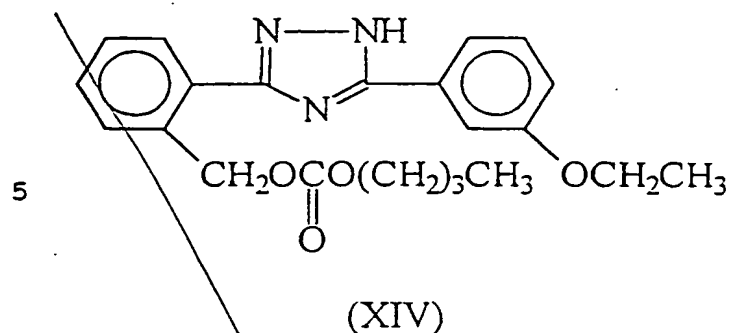
(XVI)

9. Nitrogen heterocyclic aromatic derivative according to claim 1. having the following chemical structure:

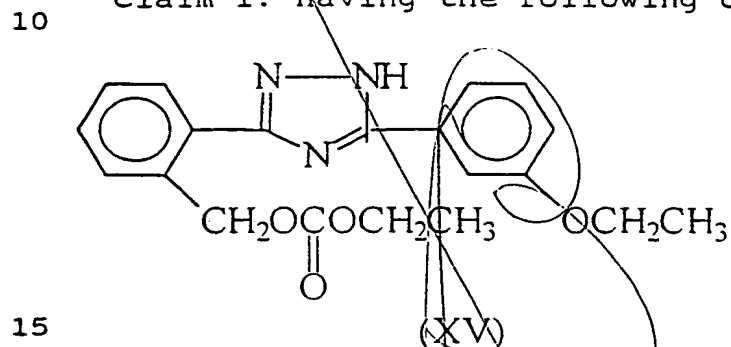


(XIII)

10. Nitrogen heterocyclic aromatic derivative according to claim 1. having the following chemical structure:



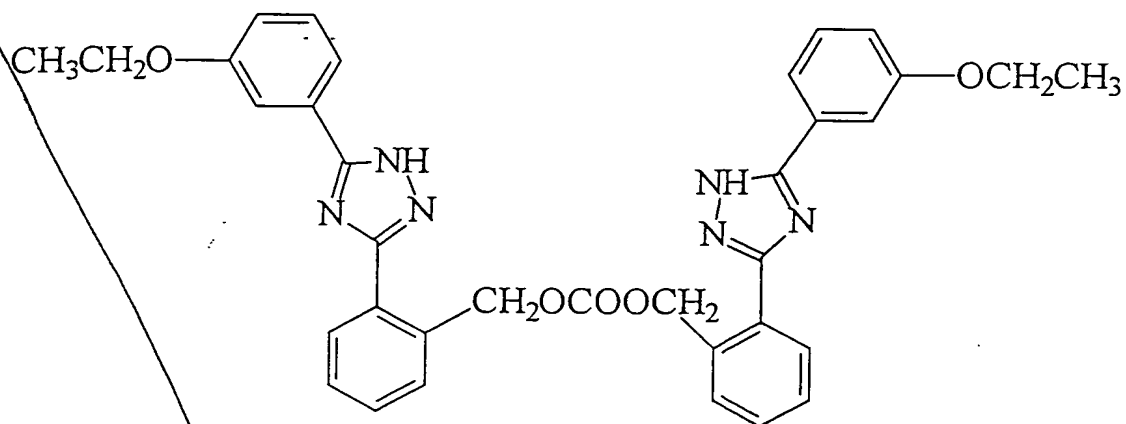
11. Nitrogen heterocyclic aromatic derivative according to
claim 1. having the following chemical structure:



12. Nitrogen heterocyclic aromatic derivative according to
claim 1. having the following chemical structure:

20

25



(XVII)

13. Nitrogen heterocyclic aromatic derivatives, according to claim 1., for use as a medicament.

14. Nitrogen heterocyclic aromatic derivatives, according to claim 1, for use as a medicament

15. Use of the nitrogen heterocyclic aromatic derivatives, according to claim 1., for the preparation of a drug with anti-gestative activity.

16. Use of the nitrogen heterocyclic aromatic derivatives, according to claim 1., for the preparation of a drug with immuno-suppressant activity.

AMENDED SHEET

17. Pharmaceutical composition with anti-gestative action
which contains at least one nitrogen heterocyclic
aromatic derivative, according to claim 1., as active
5 principle.

18. Pharmaceutical composition with immuno-suppressant
action which contains at least one nitrogen
heterocyclic aromatic derivative, according to claim
10 1., as active principle.

19. Pharmaceutical composition according to *Claim 17*
~~claims 17 and~~
~~18.~~, formulated utilising systems suitable for a
transdermic release.

20. Pharmaceutical composition according to *Claim 17*
~~claims 17 and~~
~~18.~~, formulated utilising proper aqueous systems
suitable for an intravenous administration.

21. Pharmaceutical composition according to *Claim 17*
~~claim 17 and~~
~~18.~~, formulated utilising vegetable oils or esters of
fatty acids, i.e, sesame oil, suitable for an
epicutaneous, subcutaneous and intramuscular
administration.

22. Pharmaceutical composition according to claim 21.,
formulated utilising oils of vegetable origin or fatty
esters such as sesame oil, corn oil, peanut oil, cotton
5 seed oil, and ethyl oleate.

Claim 17
23. Pharmaceutical composition according to ~~claim 17 and~~
~~22.~~, formulated utilising previously disclosed anti-
microbic agents

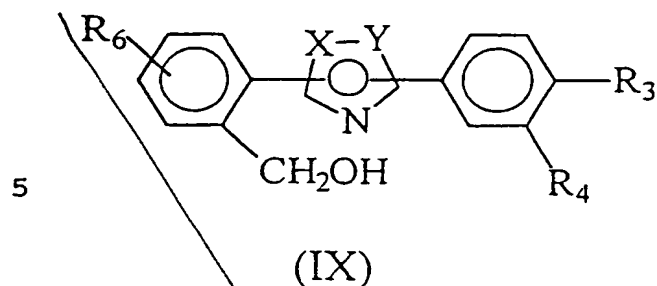
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Claim 17
24. Pharmaceutical composition according to ~~claim 17 and~~
~~22.~~, formulated utilising previously disclosed anti-
oxidative agents.

Claim 17
15 25. Pharmaceutical composition according to ~~claim 17 and~~
~~24.~~, containing from 1 to 10 % (w/v) of at least one
nitrogen heterocyclic aromatic derivative according to
claim 1.

20 26. Method of preparation of nitrogen heterocyclic
aromatic derivative according to claim 1, which
involves the following synthesis phases:

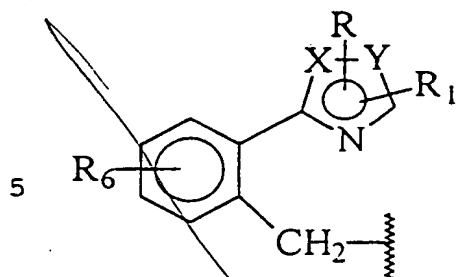
a) preparation of one nitrogen heterocyclic aromatic
25 derivative of general formula



b) possible protection of the OH group, possible acylation reaction with introduction of a $-COR_8$ group leading to the formation of an acylated derivative, subsequent de-protection of the OH group, and alternatively:

c) reaction of derivative (IX) with a carbonatante agent, to give rise to a corresponding carbonate product.

d) reaction of the above mentioned carbonate with Z to obtain the mentioned derivative (I). Where $Z=OR_7$ with R_7 is chosen among a saturated or non-saturated, linear or branched C_1-C_{20} aliphatic hydrocarbon, or is chosen according to the following formula:



(XII)

where R , R_1 , X and Y are defined as above and R_6 is chosen among hydrogen, halogen, alkyl or alkoxy C_1 - C_{10} , or Z is chosen equal to NHR_8 where R_8 is a linear or branched C_1 - C_{20} alkyl chain;

or: reaction of the above mentioned derivative (IX) with phosphoric acid or equivalent products, with formation of the derivative of formula (I).

27. Procedure according to claim 26, characterised by selecting as carbonatante agent phosgene ($COCl_2$).

add B₁

add B₂